

## (12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International F



(43) International Publication Date  
4 November 2004 (04.11.2004)

PCT

(10) International Publication Number  
WO 2004/094380 A1

(51) International Patent Classification<sup>7</sup>: C07D 211/44,  
211/54, 401/12, 409/12, A61K 31/445, A61P 25/06

(21) International Application Number:  
PCT/US2004/009283

(22) International Filing Date: 14 April 2004 (14.04.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/464,396 18 April 2003 (18.04.2003) US

(71) Applicant (for all designated States except US): ELI  
LILLY AND COMPANY [US/US]; Lilly Corporate  
Center, Indianapolis, IN 46285 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): BLANCO-PIL-  
LADO, Maria-Jesus [ES/US]; 7278 North Hawthorne  
Lane, Indianapolis, IN 46250 (US). BENESH, Dana, Rae  
[US/US]; 13287 Beckwith Drive, Westfield, IN 46074  
(US). FILLA, Sandra, Ann [US/US]; 1542 Arborwoods  
Drive, Brownsburg, IN 46112 (US). HUDZIAK, Kevin,  
John [US/US]; 5944 Magnificent Lane, Indianapolis,  
IN 46234 (US). MATHES, Brian, Michael [US/US];  
7840 Pawnwood Drive, Indianapolis, IN 46278 (US).  
KOHLMAN, Daniel, Timothy [US/US]; 6281 East Old  
Otto Court, Camby, IN 46113 (US). YING, Bai-Ping  
[US/US]; 7717 Hidden Ridge, Fishers, IN 46038 (US).  
ZHANG, Deyi [US/US]; 1372 Kirklees Drive, Carmel,  
IN 46032 (US). XU, Yao-Chang [US/US]; 10815 Timber  
Springs Drive East, Fishers, IN 46038 (US).

(74) Agents: TUCKER, Craig, R. et al.; Patent Division,  
Eli Lilly and Company, P.O. Box 6288, Indianapolis, IN  
46206-6288 (US).

(81) Designated States (unless otherwise indicated, for every  
kind of national protection available): AE, AG, AL, AM,

AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,  
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,  
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,  
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,  
ZW.

(84) Designated States (unless otherwise indicated, for every  
kind of regional protection available): ARIPO (BW, GH,  
GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),  
Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), Euro-  
pean (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR,  
GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK,  
TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,  
ML, MR, NE, SN, TD, TG).

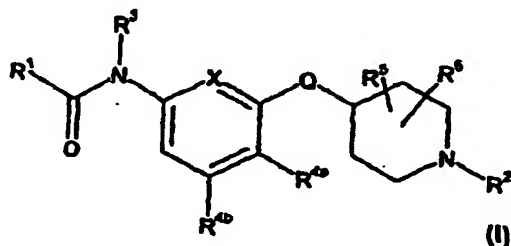
## Declarations under Rule 4.17:

— as to applicant's entitlement to apply for and be granted  
a patent (Rule 4.17(ii)) for the following designations AE,  
AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,  
CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE,  
EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,  
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,  
MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM,  
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,  
TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM,  
ZW, ARIPO patents (BW, GH, GM, KE, LS, MW, MZ, SD,  
SL, SZ, TZ, UG, ZM, ZW), Eurasian patents (AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM), European patents (AT, BE, BG,  
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT,  
LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patents (BF,  
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,  
TD, TG)

— as to the applicant's entitlement to claim the priority of the  
earlier application (Rule 4.17(iii)) for the following desig-  
nations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW,  
BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ,  
EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID,

[Continued on next page]

(54) Title: (PIPERIDINYLLOXY)PHENYL, (PIPERIDINYLLOXY)PYRIDINYL, (PIPERIDINYLLOXY)PHENYL AND  
(PIPERIDINYLLOXY)PYRIDINYL COMPOUNDS AS 5-HT<sub>1F</sub> AGONISTS



(57) Abstract: The present invention relates to compounds of  
formula 1: and pharmaceutically acceptable acid addition salts  
thereof. The compounds of the present invention are useful  
for activating 5-HT<sub>1F</sub> receptors, inhibiting neuronal protein  
extravasation, and for the treatment or prevention of migraine in  
mammals, particularly humans.

BEST AVAILABLE COPY